

#12

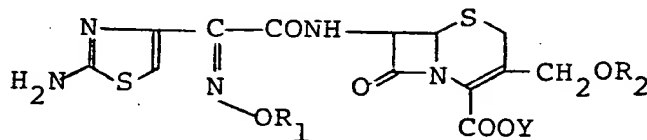
S P E C I F I C A T I O N

1. Title of the Invention

Cephalosporin compounds for oral administration

2. Scope of Patent Claim

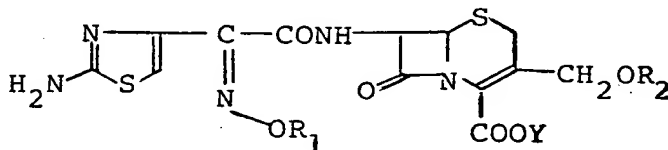
(1) A cephalosporin compound (syn isomer) having the general formula



[wherein R_1 represents hydrogen atom or a lower alkyl group, R_2 represents a lower alkyl group and Y represents phthalidyl group or a group of the formula -CHOCOR_4 (wherein R_3 represents hydrogen atom or methyl group and R_4 represents a lower alkyl group or a lower alkoxy group)]

and the pharmacologically acceptable salt thereof.

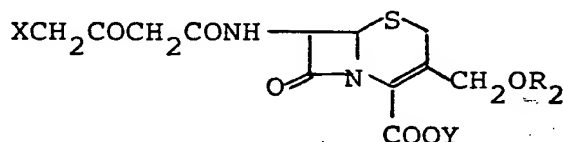
(2) A process for preparing a cephalosporin compound (syn isomer) having the general formula



178

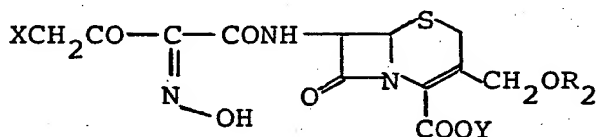
group and R_4 represents a lower alkyl group or a lower alkoxy group)

or the pharmacologically acceptable salt thereof which comprises nitrosoating a compound having the general formula



(wherein R_2 and Y are as defined above and X represents a halogen atom)

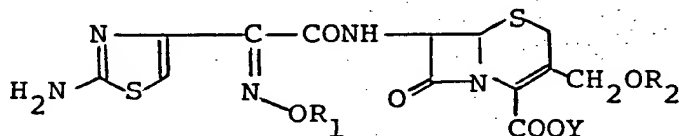
to give a hydroxyimino compound having the general formula



(wherein R_2 , Y and X are as defined above)

and reacting the latter compound with thiourea.

(5) An oral treating agent for infectious disease comprising a cephalosporin compound (syn isomer) having the general formula



[wherein R_1 represents hydrogen atom or a lower alkyl group, R_2 represents a lower alkyl group and Y represents phthalidyl group or a group of the formula

infectious diseases comprising said compounds as the active ingredient. In the above formula (I), R_1 is preferably hydrogen atom or a straight or branched alkyl group having from 1 to 4 carbon atoms such as methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, sec-butyl or tert-butyl, R_2 is preferably a straight or branched alkyl group having from 1 to 4 carbon atoms such as methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, sec-butyl or tert-butyl and Y is preferably phthalidyl group or a group of the formula -CHOCOR_4 (wherein

$$\begin{array}{c} | \\ R_3 \end{array}$$

R_3 is hydrogen atom or methyl group and R_4 is a straight or branched alkyl group having from 1 to 4 carbon atoms such as methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, sec-butyl or tert-butyl or a straight or branched alkoxy group having from 1 to 4 carbon atoms such as methoxy, ethoxy, n-propoxy, isopropoxy, n-butoxy, sec-butoxy or tert-butoxy).

The present compounds having the above formula (I) are novel compounds which are readily absorbed through the digestive tract and converted in vivo to a carboxylic acid type compounds by elimination of the ester moiety at the 4-position. Thus, it is possible to obtain a high concentration of the carboxylic acid type compound in blood and to achieve a highly remarkable effect in treatment of infectious diseases caused by gram-positive and gram-negative bacteria when administered orally. The carboxylic acid type compounds